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NEWS 17 Dec 17 TOXCENTER enhanced with additional content  
NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN  
NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,  
ENERGY, INSPEC  
NEWS 20 Feb 13 CANCERLIT is no longer being updated  
NEWS 21 Feb 24 METADEX enhancements  
NEWS 22 Feb 24 PCTGEN now available on STN  
NEWS 23 Feb 24 TEMA now available on STN  
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation  
NEWS 25 Feb 26 PCTFULL now contains images  
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results  
NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003  
NEWS 28 Mar 20 EVENTLINE will be removed from STN  
NEWS 29 Mar 24 PATDPAFULL now available on STN  
NEWS 30 Mar 24 Additional information for trade-named substances without  
structures available in REGISTRY  
NEWS 31 Mar 24 Indexing from 1957 to 1966 added to records in CA/CAPLUS  
NEWS 32 Apr 11 Display formats in DGENE enhanced  
  
NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:12:24 ON 12 APR 2003

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:12:41 ON 12 APR 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 APR 2003 HIGHEST RN 502793-56-8

DICTIONARY FILE UPDATES: 11 APR 2003 HIGHEST RN 502793-56-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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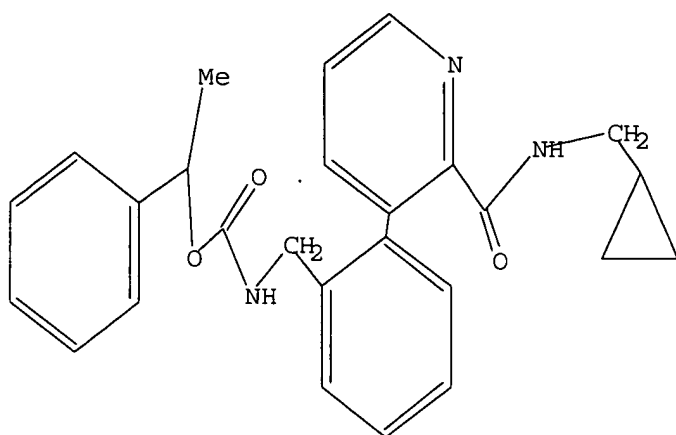
Uploading 10002320.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:13:07 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 5 TO 234  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:13:14 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 14:13:20 ON 12 APR 2003  
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FILE COVERS 1907 - 12 Apr 2003 VOL 138 ISS 16  
FILE LAST UPDATED: 11 Apr 2003 (20030411/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 2 L3

=> d 14 fbib hitstr abs total

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:49604 CAPLUS

TI Identification, Synthesis, and Activity of Novel Blockers of the Voltage-Gated Potassium Channel Kv1.5

AU Peukert, Stefan; Brendel, Joachim; Pirard, Bernard; Brueggemann, Andrea; Below, Peter; Kleemann, Heinz-Werner; Hemmerle, Horst; Schmidt, Wolfgang

CS Medicinal Chemistry and DG Cardiovascular, Aventis Pharma Deutschland GmbH, Frankfurt/Main, D-65926, Germany

SO Journal of Medicinal Chemistry (2003), 46(4), 486-498  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 502169-87-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of o-[o-(aminomethyl)phenyl]arenecarboxamides as blockers of the voltage-gated potassium channel Kv1.5 and antiarrhythmic agents)

RN 502169-87-1 CAPLUS

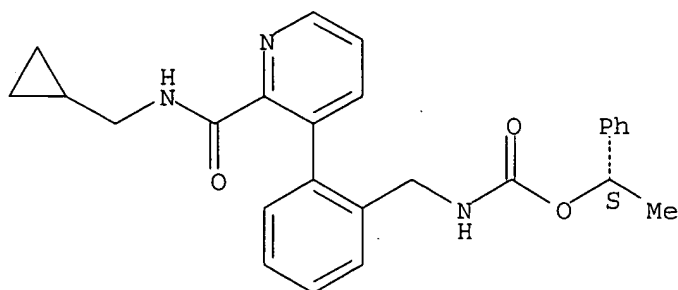
CN Carbamic acid, [[2-[2-[[[(cyclopropylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 434319-88-7

CMF C26 H27 N3 O3

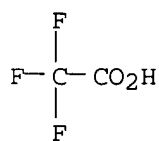
Absolute stereochemistry.



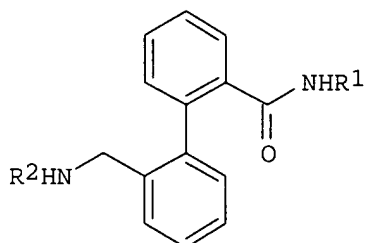
CM 2

CRN 76-05-1

CMF C2 H F3 O2



GI



I

AB The voltage-gated potassium channel Kv1.5 is regarded as a promising target for the development of new atrial selective drugs with fewer side effects. In the present study, several ortho,ortho-disubstituted bisaryl compds., e.g. I [R1 = Me2CHCH2CH2, 2,4-F2C6H3CH2, 2-(2-pyridyl)ethyl, etc.; R2 = PhCH2OCO, 4-MeOC6H4CH2CO, PhCH2CH2, etc.] were synthesized and screened for their ability to block Kv1.5 channels expressed in *Xenopus* oocytes. The obsd. structure-activity relationship was described by a pharmacophore model that consists of three hydrophobic centers in a triangular arrangement. The hydrophobic centers are matched by a Ph or pyridyl ring of the bisaryl core and both ends of the side chains. The most potent compds. I [R1 = 2-(2-pyridyl)ethyl; R2 = PhCH2OCO, (S)-PhCHMeOCO] inhibited the Kv1.5 channel with sub-micromolar half-blocking concns. and displayed 3-fold selectivity over Kv1.3 and no significant effect on the HERG channel and sodium currents. In addn., compds. I [R1 = 2-(2-pyridyl)ethyl, R2 = PhCH2OCO; R1 = 2,4-F2C6H3CH2, R2 = 4-MeOC6H4CH2CO] have shown antiarrhythmic effects in a pig model.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS  
AN 2002:449654 CAPLUS  
DN 137:20388  
TI Preparation of ortho-substituted nitrogen containing bisaryl compounds as potassium channel blockers  
IN Peukert, Stefan; Brendel, Joachim; Hemmerle, Horst; Kleemann, Heinz-Werner  
PA Aventis Pharma Deutschland G.m.b.H., Germany  
SO PCT Int. Appl., 69 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046162	A1	20020613	WO 2001-EP13680	20011124
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE 10060807	A1	20020620	DE 2000-10060807A	20001207
	AU 2002021892	A5	20020618	DE 2000-10060807	20001207
				AU 2002-21892	20011124
				DE 2000-10060807A	20001207
				WO 2001-EP13680W	20011124
	US 2003060470	A1	20030327	US 2001-2326	20011205
				DE 2000-10060807A	20001207

OS MARPAT 137:20388

IT 434319-88-7P

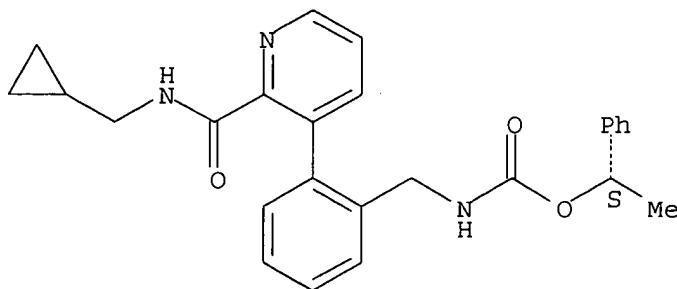
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of ortho-substituted nitrogen contg. bisaryl compds. as potassium channel blockers)

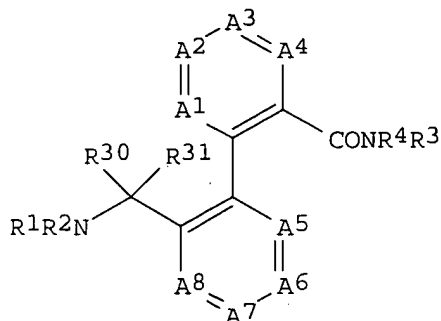
RN 434319-88-7 CAPLUS

CN Carbamic acid, [[2-[2-[[[(cyclopropylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB Title compds. [I; A1-A8 = N, CH, CR5; whereby >1 of A1-A8 = N and >4 of A1-A8 = CH; R1 = CO2R9, SO2R10, COR11, C(O)NR12R13, C(S)NR12R13; R9-R12 = CxH2xR14; x = 0-4; R14 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR15, SO2Me, (substituted) Ph, naphthyl, etc.; R15 = alkyl, cycloalkyl, (substituted) Ph; R13 = H, alkyl, CF3; R2 = H, alkyl, CF3; R3 = CyH2yR16, etc.; y = 0-4; R16 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR17, SO2Me, (substituted) Ph, naphthyl, etc.; R17 = H, alkyl, cycloalkyl, (substituted) Ph, pyridyl; R4 = H, alkyl, CF3; or R3R4 = (O-, S-, NH-, N(methyl)-, N(benzyl)-interrupted) C4-5 alkylene; R5 = F, Cl, Br, I, CF3, NO2, cyano, CO2Me, COMe, amino, OH, alkyl, alkoxy, etc.; R30, R31 = H, alkyl; or R3OR31 = C2 alkylene], were prepd. The most prepd. compds. were phenylpyridines and phenylpyrazines. Thus, a mixt. of 1-[3-(2-aminomethylphenyl)pyridin-2-yl]-N-(cyclopropylmethyl)amide, diisopropylethylamine, (R)-3-phenylbutyric acid, and tetramethylfluoroamidinium hexafluorophosphate (TFFH) was stirred overnight to give 77% 1-(3-[2-(R)-[(3-phenylbutyrylamino)methyl]phenyl]pyridin-2-yl)-N-(cyclopropylamino)amide. The latter inhibited Kv1.5 human channel with IC50 = 0.4 .mu.M.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT